

Abstract of the Disclosure

The present invention relates to novel heterocyclic compounds, to methods for their preparation, to compositions containing them, and to methods and use for clinical treatment of medical conditions which may benefit from immunomodulation, including rheumatoid arthritis, multiple sclerosis, diabetes, asthma, transplantation, systemic lupus erythematosus and psoriasis. More particularly, the present invention relates to novel heterocyclic compounds, which are CD80 antagonists capable of inhibiting the interactions between CD80 and CD28.

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
1 July 2004 (01.07.2004)

PCT

(10) International Publication Number
WO 2004/055014 A1

(51) International Patent Classification⁷: **C07D 471/04**,
A61K 31/437, A61P 37/02

(21) International Application Number:
PCT/SE2003/001941

(22) International Filing Date:
12 December 2003 (12.12.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/433,580 16 December 2002 (16.12.2002) US
0203722-4 16 December 2002 (16.12.2002) SE

(71) Applicant (*for all designated States except US*): **ACTIVE BIOTECH AB** [SE/SE]; Scheelevägen 22, Box 724, S-220 07 Lund (SE).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): **MATTHEWS, Ian, Richard** [GB/GB]; c/o Avidex, 57C Milton Park, GB-Abingdon, Oxfordshire OX14 4RX (GB). **HUXLEY, Philip** [GB/GB]; c/o Avidex, 57c Milton Park,, GB-Abingdon, Oxfordshire OX14 4RX (GB). **MAGARACI, Filippo** [IT/GB]; c/o Evaotec OAI, 151 Milton Park,, GB-Abingdon, Oxfordshire OX14 4SD (GB). **BRENNAN, Chris, James** [GB/GB]; Evotec OAI, 151, Milton Park, Abingdon, Oxfordshire OX14 4SD (GB). **UDDIN, Muhammed, Kamal** [GB/GB]; Evaotec OAI, 151, Milton Park, Abingdon, Oxfordshire OX14 4SD

(GB). **PETTERSSON, Lars, Olof, Göran** [SE/SE]; c/o Active Biotech Ab, Scheelevägen 22, S-220 07 Lund (SE). **THRIGE, Dorthé da Graça** [DK/SE]; c/o Active Biotech AB, Scheelevägen 22, S-220 07 Lund (SE).

(74) Agent: **AWAPATENT AB**; Box 5117, S-200 71 Malmö (SE).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ (utility model), CZ, DE (utility model), DE, DK (utility model), DK, DM, DZ, EC, EE (utility model), EE, EG, ES, FI (utility model), FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **TETRACYCLIC IMMUNOMODULATORY COMPOUNDS**

(57) Abstract: The present invention relates to novel heterocyclic compounds, to methods for their preparation, to compositions containing them, and to methods and use for clinical treatment of medical conditions which may benefit from immunomodulation, including rheumatoid arthritis, multiple sclerosis, diabetes, asthma, transplantation, systemic lupus erythematosus and psoriasis. More particularly the present invention relates to novel heterocyclic compounds, which are CD80 antagonists capable of inhibiting the interactions between CD80 and CD28.

WO 2004/055014 A1